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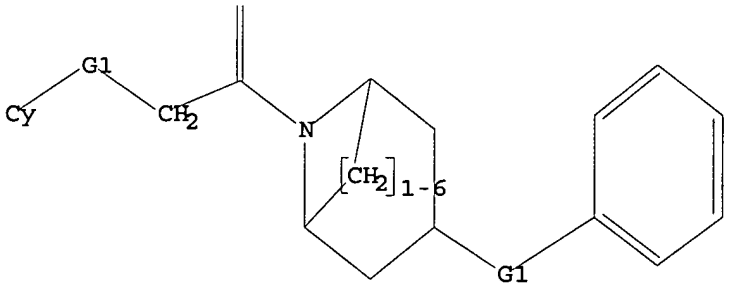


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Structure attributes must be viewed using STN Express query preparation.
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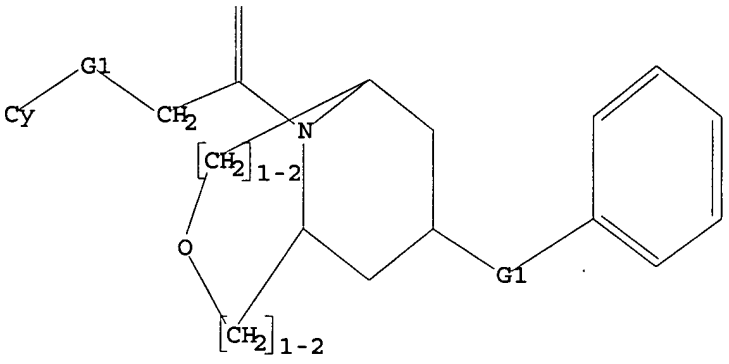


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L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:80685 CAPLUS
DN 140:146011
TI Preparation of bicyclic piperidine derivatives as antagonists of the CCR1 chemokine receptor
IN Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill; Poss, Christopher Stanley
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009588	A1	20040129	WO 2003-IB3155	20030707
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	EP 1525201	A1	20050427	EP 2003-741007	20030707
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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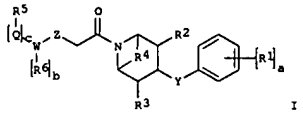
	US 2004063688	A1	20040401	US 2003-616843	20030708
PRAI	US 2002-397263P	P	20020718		
	WO 2003-IB3155	W	20030707		

OS MARPAT 140:146011
GI



I

AB The title compds. [I; a = 1-5; b = 0-4; c = 0-1; Q = alkyl; W = aryl, heteroaryl; Y = O, NH, N(alkyl); Z = O, NH, N(alkyl), N(acetyl); R1 = H, halo, CN, NO2, etc.; R2, R3 = H, alkyl, haloalkyl; R4 = alkylene, (CH2)xO(CH2)y (wherein x, y = 1-2); R5 = H, halo, alkyl, etc.; R6 = H, halo, alkyl, etc.], useful as potent and selective inhibitors of

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
652147-11-0P 652147-13-2P 652147-15-4P
652147-17-6P 652147-18-7P 652147-19-8P
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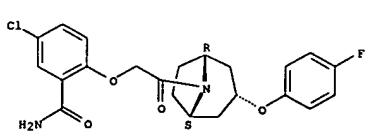
653599-96-3P 653599-98-5P 653600-00-1P
653600-02-3P 653600-04-5P 653600-08-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic piperidine derivs. as antagonists of the CCR1 chemokine receptor)

RN 652146-57-1 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652146-59-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[2-[(aminocarbonyl)amino]-4-chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-endo)- (9CI) (CA INDEX NAME)

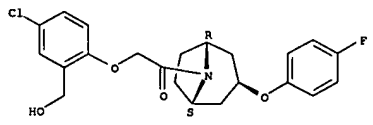
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
MIP-1α(CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes), were prepd. E.g., a multi-step synthesis of (trans)-5-chloro-2-[2-[3-(4-fluorophenoxy)-8-aza-bicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzamide was given. All exemplified compds. I had IC50 of <10 μM in the chemotaxis assay. Pharmaceutical compn. comprising the compd. I is claimed.

IT 652147-27-8P 652147-91-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of bicyclic piperidine derivs. as antagonists of the CCR1 chemokine receptor)

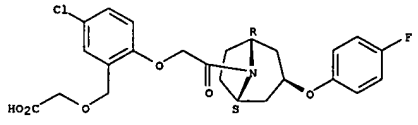
RN 652147-27-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(hydroxymethyl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-91-6 CAPLUS
CN Acetic acid, [[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 652146-57-1P 652146-59-3P 652146-62-8P
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652146-81-1P 652146-82-2P 652146-83-3P
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652147-01-8P 652147-02-9P 652147-04-1P
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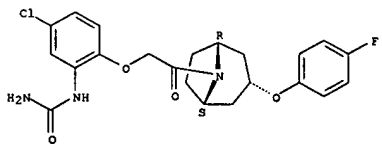
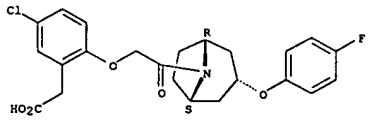
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



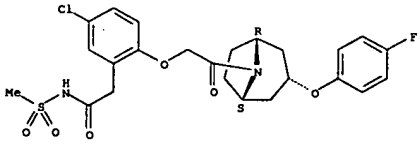
RN 652146-62-8 CAPLUS
CN Benzeneacetic acid, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652146-64-0 CAPLUS
CN Benzeneacetamide, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

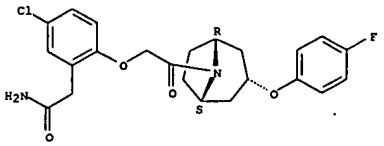
Relative stereochemistry.



RN 652146-66-2 CAPLUS
CN Benzeneacetamide, 5-chloro-2-[2-[(3-endo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

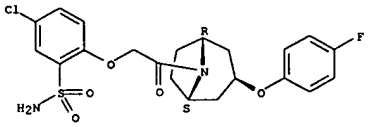
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



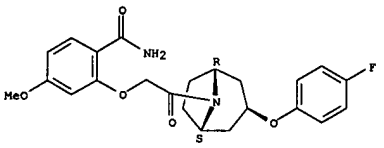
RN 652146-69-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[2-(aminosulfonyl)-4-chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



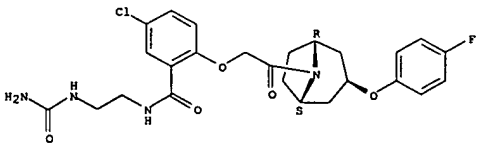
RN 652146-71-9 CAPLUS
CN Benzamide, 2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-4-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



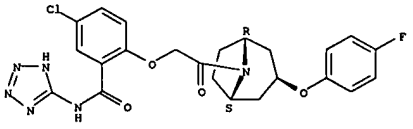
RN 652146-73-1 CAPLUS
CN Benzamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Relative stereochemistry.



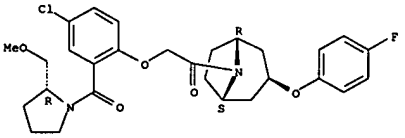
RN 652146-80-0 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-1H-tetrazol-5-yl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652146-81-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (1R,5S)- (9CI) (CA INDEX NAME)

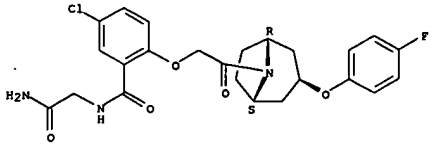
Absolute stereochemistry.



RN 652146-82-2 CAPLUS
CN Benzamide, N-(2-aminoethyl)-5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

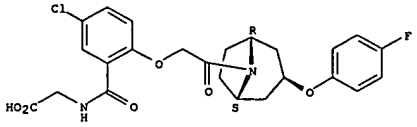
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Relative stereochemistry.



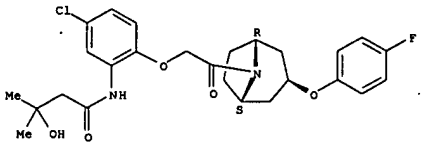
RN 652146-75-3 CAPLUS
CN Glycine, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



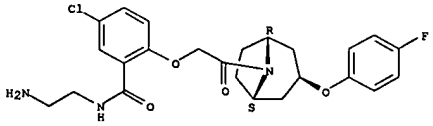
RN 652146-77-5 CAPLUS
CN Butanamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-3-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



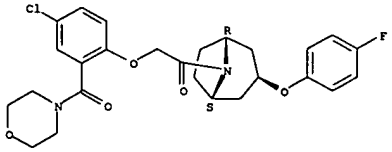
RN 652146-79-7 CAPLUS
CN Benzamide, N-[2-[(aminocarbonyl)amino]ethyl]-5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



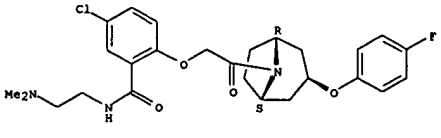
RN 652146-83-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(4-morpholinylcarbonyl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652146-85-5 CAPLUS
CN Benzamide, 5-chloro-N-[2-(dimethylamino)ethyl]-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

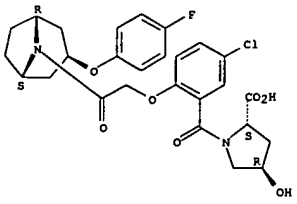
Relative stereochemistry.



RN 652146-86-6 CAPLUS
CN L-Proline, 1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

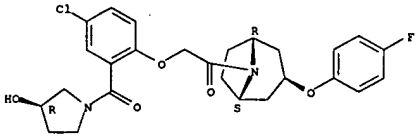
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



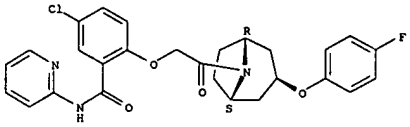
RN 652146-87-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]carbonyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (1R,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652146-90-2 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

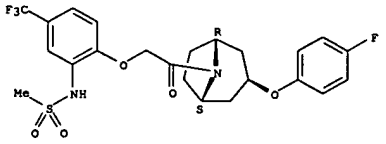
Relative stereochemistry.



RN 652146-92-4 CAPLUS

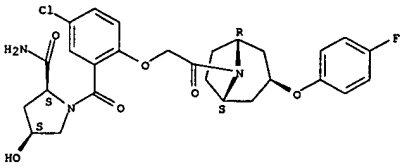
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-8-[[2-[(methylsulfonyl)amino]-4-(trifluoromethyl)phenoxy]acetyl]-, (3-exo)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652146-94-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[5-chloro-2-[2-[(1R,5S)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (2S,4S)-(9CI) (CA INDEX NAME)

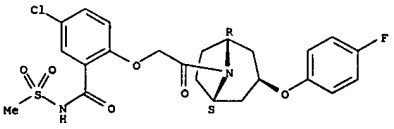
Absolute stereochemistry.



RN 652146-95-7 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

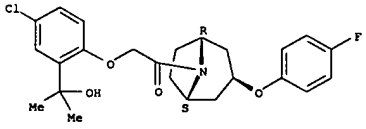
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



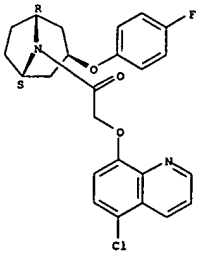
RN 652146-96-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(1-hydroxy-1-methylethyl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



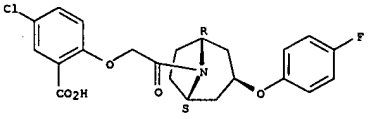
RN 652146-97-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[(5-chloro-8-quinolinyl)oxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



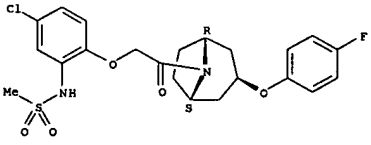
RN 652147-01-8 CAPLUS
CN Benzoic acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Relative stereochemistry.



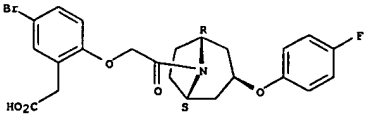
RN 652147-02-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[(methylsulfonyl)amino]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-04-1 CAPLUS
CN Benzeneacetic acid, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

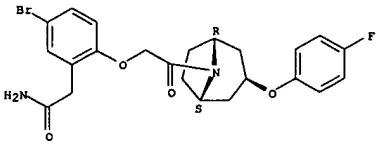
Relative stereochemistry.



RN 652147-06-3 CAPLUS
CN Benzeneacetamide, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

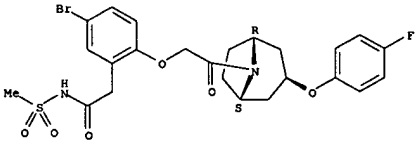
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



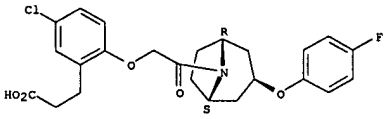
RN 652147-08-5 CAPLUS
CN Benzeneacetamide, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-10-9 CAPLUS
CN Benzenepropanoic acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

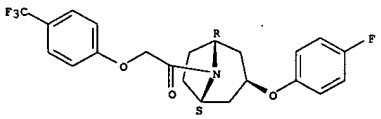
Relative stereochemistry.



RN 652147-11-0 CAPLUS
CN Benzenepropanamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

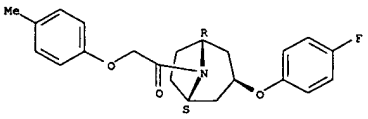
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



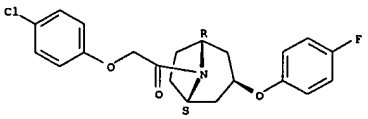
RN 652147-18-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-8-[(4-methylphenoxy)acetyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



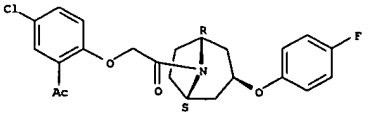
RN 652147-19-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(4-chlorophenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

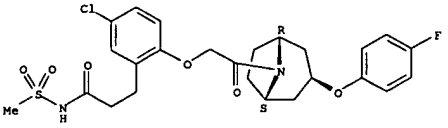


RN 652147-21-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(2-acetyl-4-chlorophenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

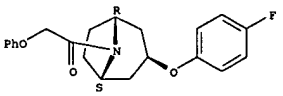


L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



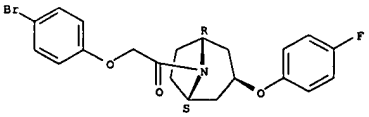
RN 652147-13-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-8-(phenoxyacetyl)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-15-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(4-bromophenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



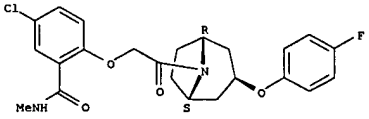
RN 652147-17-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-8-[[4-(trifluoromethyl)phenoxy]acetyl]-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

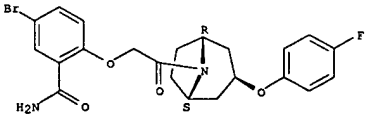
RN 652147-23-4 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



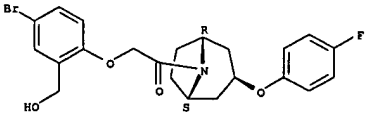
RN 652147-25-6 CAPLUS
CN Benzamide, 5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-29-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-bromo-2-(hydroxymethyl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

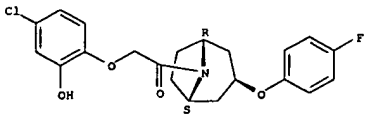
Relative stereochemistry.



RN 652147-31-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(4-chloro-2-hydroxyphenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

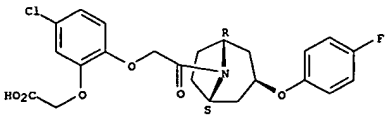
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



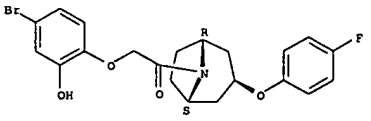
RN 652147-33-6 CAPLUS
CN Acetic acid, [5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-35-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(4-bromo-2-hydroxyphenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

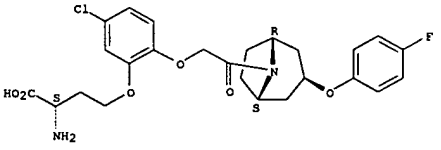
Relative stereochemistry.



RN 652147-37-0 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

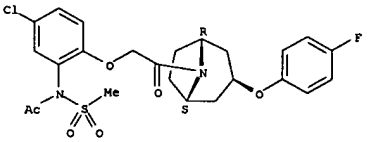
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



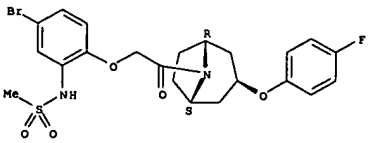
RN 652147-42-7 CAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-43-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-bromo-2-[(methylsulfonyl)amino]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

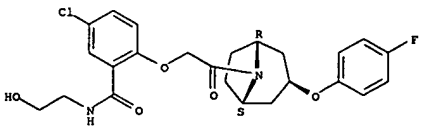
Relative stereochemistry.



RN 652147-44-9 CAPLUS
CN Acetamide, N-[5-bromo-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

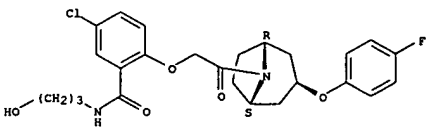
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



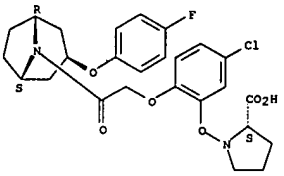
RN 652147-39-2 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-40-5 CAPLUS
CN L-Proline, 1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenoxy]- (9CI) (CA INDEX NAME)

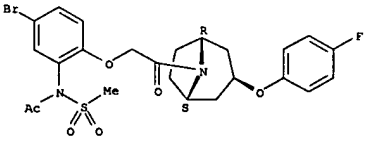
Absolute stereochemistry.



RN 652147-41-6 CAPLUS
CN L-Homoserine, O-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy]-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

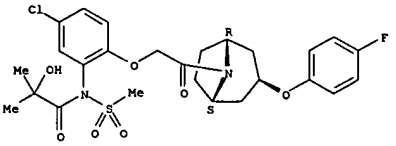
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



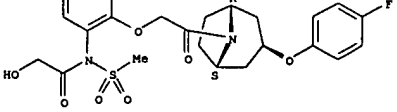
RN 652147-45-0 CAPLUS
CN Propanamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-2-hydroxy-2-methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-46-1 CAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-2-hydroxy-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

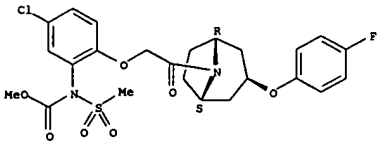
Relative stereochemistry.



RN 652147-47-2 CAPLUS
CN Carbamic acid, [5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl](methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

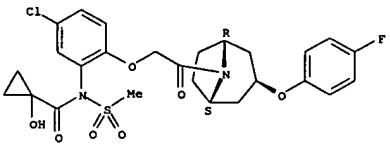
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



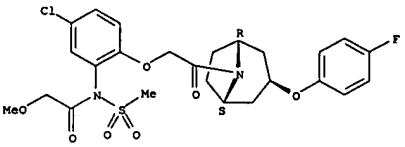
RN 652147-48-3 CAPLUS
CN Cyclopropanecarboxamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-1-hydroxy-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



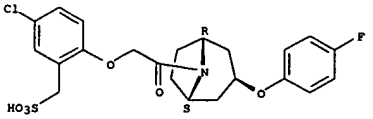
RN 652147-49-4 CAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]-2-methoxy-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



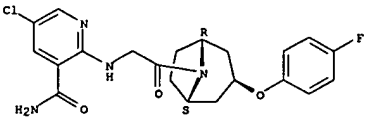
RN 652147-50-7 CAPLUS
CN Benzenemethanesulfonic acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Relative stereochemistry.



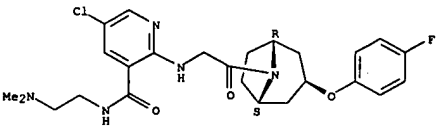
RN 652147-52-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-53-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-[2-(dimethylamino)ethyl]-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX NAME)

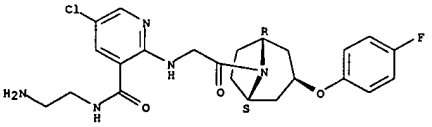
Relative stereochemistry.



RN 652147-54-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminoethyl)-5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX NAME)

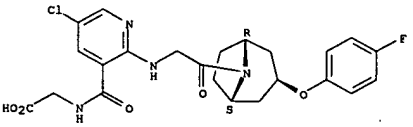
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



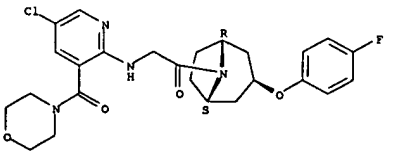
RN 652147-55-2 CAPLUS
CN Glycine, N-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-56-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-(4-morpholinylcarbonyl)-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

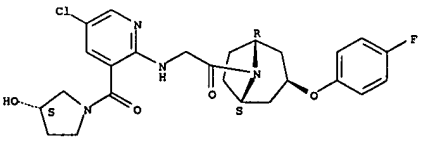
Relative stereochemistry.



RN 652147-57-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[(3S)-3-hydroxy-1-pyrrolidinyl]carbonyl]-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (1R,5S)- (9CI) (CA INDEX NAME)

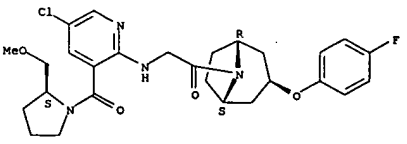
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



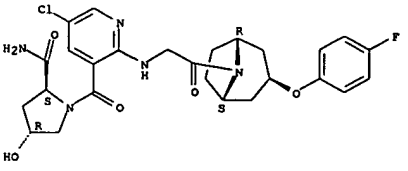
RN 652147-59-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (1R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 652147-61-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[[5-chloro-2-[[2-[(1R,5S)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]octan-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (2S,4R)- (9CI) (CA INDEX NAME)

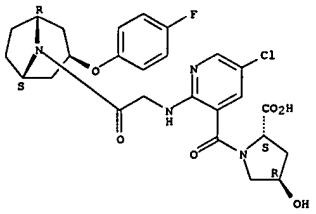
Absolute stereochemistry.



RN 652147-64-3 CAPLUS
CN L-Proline, 1-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

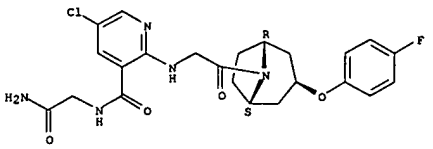
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



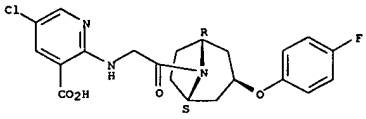
RN 652147-66-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-67-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-, rel- (9CI) (CA INDEX NAME)

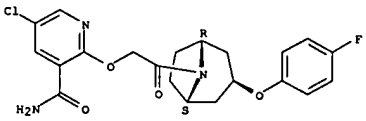
Relative stereochemistry.



L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

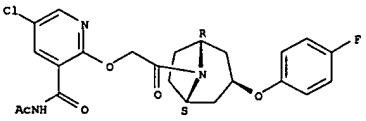
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



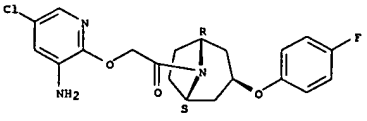
RN 652147-74-5 CAPLUS
CN 3-Pyridinecarboxamide, N-acetyl-5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-76-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[(3-amino-5-chloro-2-pyridinyl)oxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



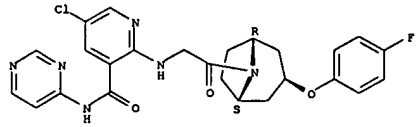
RN 652147-78-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[[3-[(aminocarbonyl)amino]-5-chloro-2-pyridinyl]oxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

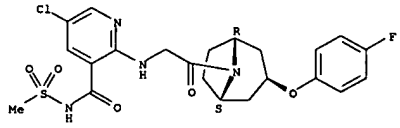
RN 652147-68-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-N-4-pyrimidinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



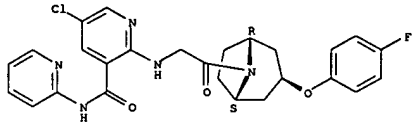
RN 652147-69-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-N-(methylsulfonyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



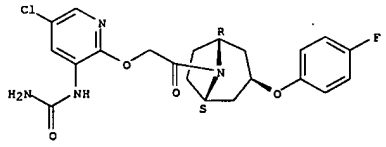
RN 652147-70-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-N-2-pyridinyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



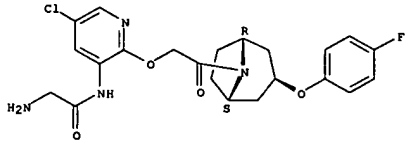
RN 652147-72-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



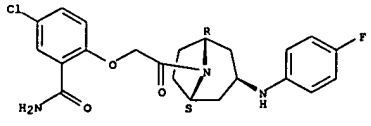
RN 652147-80-3 CAPLUS
CN Acetamide, 2-amino-N-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-3-pyridinyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-83-6 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-[(4-fluorophenyl)amino]-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

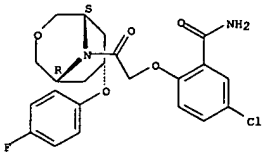
Relative stereochemistry.



RN 652147-85-8 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(7-endo)-7-(4-fluorophenoxy)-3-oxa-9-azabicyclo[3.3.1]non-9-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

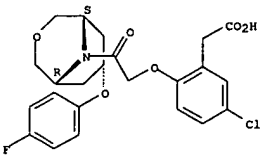
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



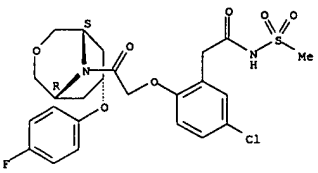
RN 652147-87-0 CAPLUS
CN Benzeneacetic acid, 5-chloro-2-[2-[(7-endo)-7-(4-fluorophenoxy)-3-oxa-9-azabicyclo[3.3.1]non-9-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-89-2 CAPLUS
CN Benzeneacetamide, 5-chloro-2-[2-[(7-endo)-7-(4-fluorophenoxy)-3-oxa-9-azabicyclo[3.3.1]non-9-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

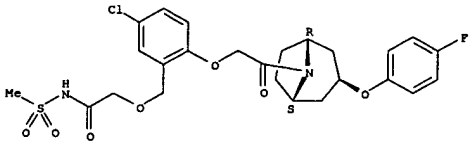


RN 652147-90-5 CAPLUS
CN Acetamide, 2-[[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

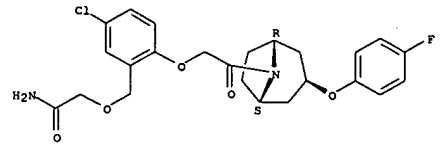
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-92-7 CAPLUS
CN Acetamide, 2-[[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

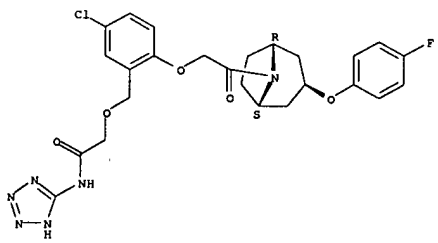


RN 652147-94-9 CAPLUS
CN Acetamide, 2-[[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-

azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy]-N-1H-tetrazol-5-yl-, rel- (9CI) (CA INDEX NAME)

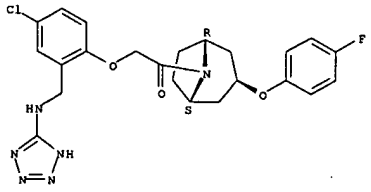
Relative stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



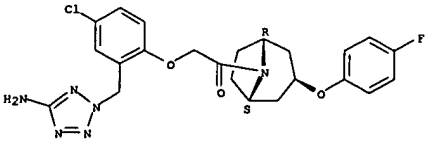
RN 652147-95-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[(1H-tetrazol-5-ylamino)methyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652147-96-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[2-[(5-amino-2H-tetrazol-2-yl)methyl]-4-chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

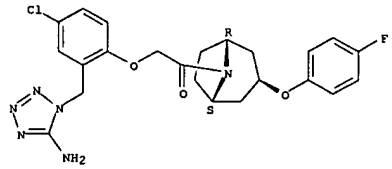
Relative stereochemistry.



L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

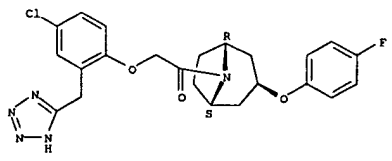
RN 652147-97-2 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[2-[(5-amino-1H-tetrazol-1-yl)methyl]-4-chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



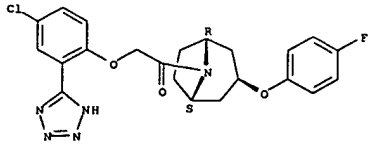
RN 652147-98-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(1H-tetrazol-5-ylmethyl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 652148-22-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(1H-tetrazol-5-yl)phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-rel- (9CI) (CA INDEX NAME)

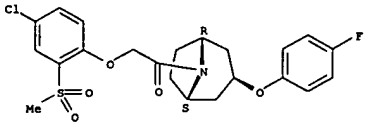
Relative stereochemistry.



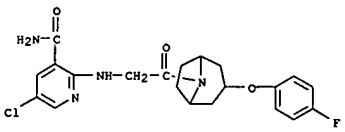
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 652148-23-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-[[4-chloro-2-(methylsulfonyl)phenoxy]acetyl]-
3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

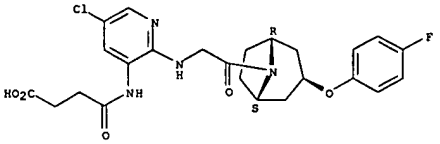


RN 652148-24-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-2-[[2-[3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]- (9CI) (CA INDEX NAME)



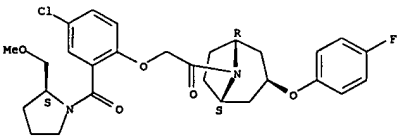
RN 652148-26-0 CAPLUS
CN Butanoic acid, 4-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]amino]-4-oxo-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



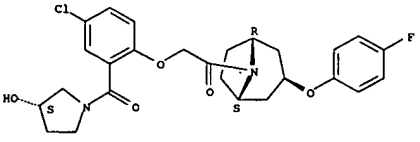
RN 652148-36-2 CAPLUS
CN Benzoic acid, 4-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



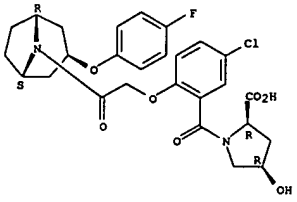
RN 653599-84-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(3S)-3-hydroxy-1-
pyrrolidinyl]carbonyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-
(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 653599-85-0 CAPLUS
CN D-Proline, 1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (4R)- (9CI)
(CA INDEX NAME)

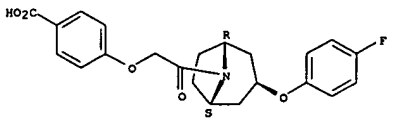
Absolute stereochemistry.



RN 653599-86-1 CAPLUS
CN L-Proline, 1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (4S)- (9CI)
(CA INDEX NAME)

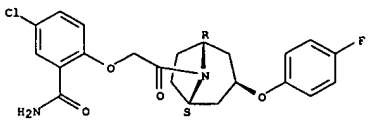
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



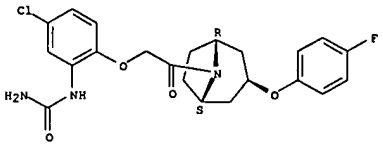
RN 653599-80-5 CAPLUS
CN Benzamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 653599-81-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[2-[(aminocarbonyl)amino]-4-
chlorophenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX
NAME)

Relative stereochemistry.

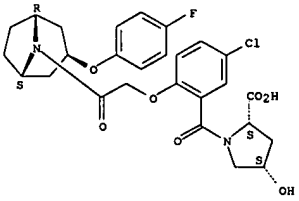


RN 653599-83-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-[[(2S)-2-(methoxymethyl)-1-
pyrrolidinyl]carbonyl]phenoxy]acetyl]-3-(4-fluorophenoxy)-, (3-exo)-
(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

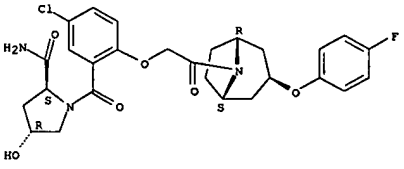
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 653599-87-2 CAPLUS
CN 2-Pyrrolidinecarboxamide,
1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (2S,4R)-
(9CI)
(CA INDEX NAME)

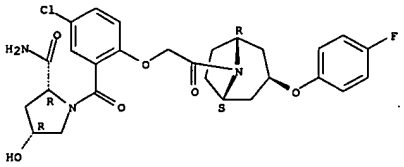
Absolute stereochemistry.



RN 653599-88-3 CAPLUS
CN 2-Pyrrolidinecarboxamide,
1-[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-
azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]benzoyl]-4-hydroxy-, (2R,4R)-
(9CI)
(CA INDEX NAME)

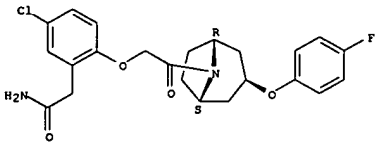
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



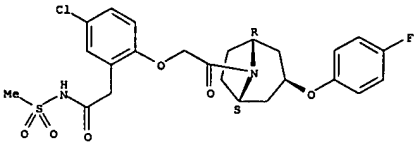
RN 653599-90-7 CAPLUS
CN Benzeneacetamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 653599-92-9 CAPLUS
CN Benzeneacetamide, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

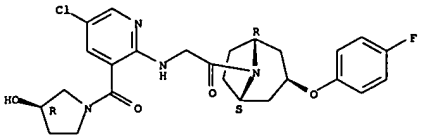
Relative stereochemistry.



RN 653599-94-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[(3R)-3-hydroxy-1-pyrrolidinyl]carbonyl]-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

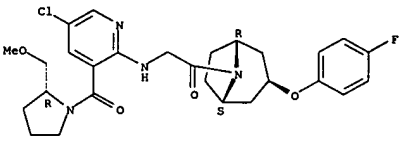
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



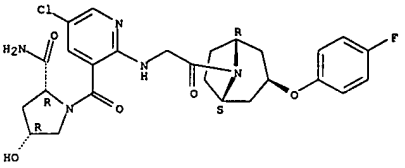
RN 653599-96-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[[5-chloro-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-2-pyridinyl]amino]acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 653599-98-5 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (2R,4R)- (9CI) (CA INDEX NAME)

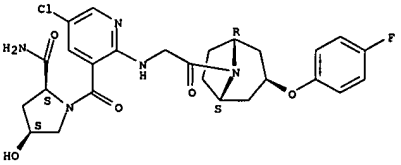
Absolute stereochemistry.



RN 653600-00-1 CAPLUS

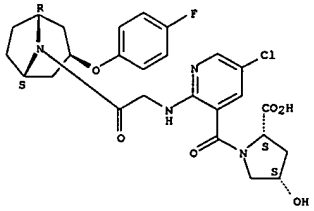
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pyrrolidinecarboxamide, 1-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 653600-02-3 CAPLUS
CN L-Proline, 1-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (4S)- (9CI) (CA INDEX NAME)

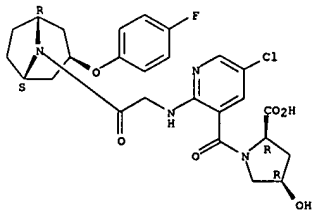
Absolute stereochemistry.



RN 653600-04-5 CAPLUS
CN D-Proline, 1-[[5-chloro-2-[[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl]amino]-3-pyridinyl]carbonyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

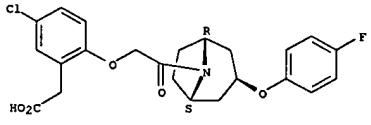
Absolute stereochemistry.

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 653600-08-9 CAPLUS
CN Benzeneacetic acid, 5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]- (9CI) (CA INDEX NAME)

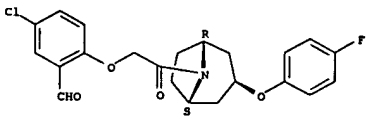
Relative stereochemistry.



IT 652148-18-0P 652148-19-1P 652148-20-4P
652148-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic piperidine derivs. as antagonists of the CCR1 chemokine receptor)

RN 652148-18-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[(4-chloro-2-formylphenoxy)acetyl]-3-(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

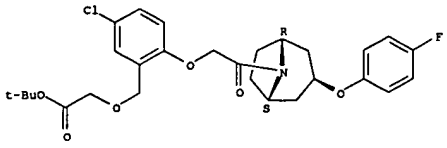
Relative stereochemistry.



RN 652148-19-1 CAPLUS
CN Acetic acid, [[5-chloro-2-[2-[(3-exo)-3-(4-fluorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethoxy]phenyl]methoxy]-, 1,1-dimethylethyl

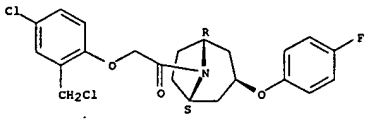
L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



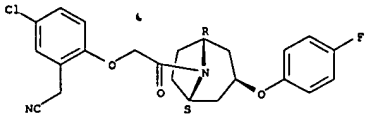
RN 652148-20-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane,
8-[[4-chloro-2-(chloromethyl)phenoxy]acetyl]-3-
(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



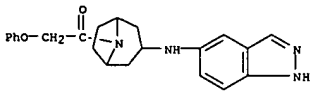
RN 652148-21-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 8-[[4-chloro-2-(cyanomethyl)phenoxy]acetyl]-3-
(4-fluorophenoxy)-, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
in vitro showed IC50 of 0.4 μL/mL against Rho-kinase.
IT 478838-06-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as Rho-kinase inhibitors)
RN 478838-06-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-amine, N-1H-indazol-5-yl-8-(phenoxyacetyl)-
(9CI) (CA INDEX NAME)



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:964330 CAPLUS
DN 138:39295
TI Preparation of heterocyclic compounds as Rho-kinase inhibitors
IN Imazaki, Naonori; Kitano, Masafumi; Ohashi, Naohito; Matsui, Kazuki
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 425 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100833	A1	20021219	WO 2002-JP5609	20020606
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	EP 1403255	A1	20040331	EP 2002-733352	20020606
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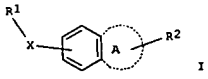
PRAI	JP 2001-176826	A	20010612		
	JP 2001-398992	A	20011228		
	WO 2002-JP5609	W	20020606		

OS MARPAT 138:39295
GI



AB The title compds. I [wherein one to four groups represented by the general
formula R1-X are present and may be the same or different from each other;
A is a saturated or unsatd. five-membered heterocycle; X is a single bond,
N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; R2 is hydrogen, halogeno,
nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3 is hydrogen, substituted or unsubstituted alkyl, or the like] are prepared
N-(1-Benzyl-4-piperidinyl)-1H-indazole-5-amine dihydrochloride monohydrate

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                 "BROWN MATTHEW FRANK"/AU)
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L9            24 SEA FILE=CAPLUS ABB=ON  PLU=ON  ("POSS CHRISTOPHER S"/AU OR
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L10           50 SEA FILE=CAPLUS ABB=ON  PLU=ON  L6 OR L7 OR L8 OR L9
L11            5 SEA FILE=CAPLUS ABB=ON  PLU=ON  L10 AND PIPERIDINE

=> d 1-5 ibib iabs
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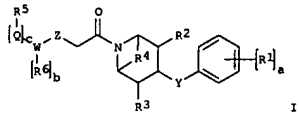
L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80685 CAPLUS
DOCUMENT NUMBER: 140:146011
TITLE: Preparation of bicyclic **piperidine** derivatives as antagonists of the CCR1 chemokine receptor
INVENTOR(S): **Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill; Poss, Christopher Stanley**
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004009588	A1	20040129	WO 2003-IB3155		20030707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2492110	AA	20040129	CA 2003-2492110		20030707
BR 2003012699	A	20050426	BR 2003-12699		20030707
EP 1525201	A1	20050427	EP 2003-741007		20030707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005533845	T2	20051110	JP 2004-522638		20030707
US 2004063688	A1	20040401	US 2003-616843		20030708
PRIORITY APPLN. INFO.:			US 2002-397263P	P	20020718
			WO 2003-IB3155	W	20030707

OTHER SOURCE(S): MARPAT 140:146011
GRAPHIC IMAGE:



I

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

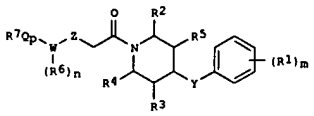
ABSTRACT:
The title compds. [I; a = 1-5; b = 0-4; c = 0-1; Q = alkyl; W = aryl, heteroaryl; Y = O, NH, N(alkyl); Z = O, NH, N(alkyl), N(acetyl); R1 = H, halo, CN, NO2, etc.; R2, R3 = H, alkyl, haloalkyl; R4 = alkylene, (CH2)xO(CH2)y (wherein x, y = 1-2); R5 = H, halo, alkyl, etc.; R6 = H, halo, alkyl, etc.], useful as potent and selective inhibitors of MIP-1α(CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes), were prepared E.g., a multi-step synthesis of (trans)-5-chloro-2-{2-[3-(4-fluorophenoxy)-8-aza-bicyclo[3.2.1]oct-8-yl]-2-oxoethoxy}benzamide was given. All exemplified compds. I had IC50 of <10 μM in the chemotaxis assay. Pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80652 CAPLUS
DOCUMENT NUMBER: 140:146007
TITLE: Preparation of piperidinylketones as as selective inhibitors of macrophage inflammatory protein 1α (MIP-1α) binding to CCR1 chemokine receptors.
INVENTOR(S): **Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill; Poss, Christopher Stanley**
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004009550	A1	20040129	WO 2003-IB2876		20030707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2492651	AA	20040129	CA 2003-2492651		20030707
EP 1534677	A1	20050601	EP 2003-765230		20030707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003012946	A	20050712	BR 2003-12946		20030707
US 2004063759	A1	20040401	US 2003-616844		20030708
PRIORITY APPLN. INFO.:			US 2002-397108P	P	20020718
			WO 2003-IB2876	W	20030707

OTHER SOURCE(S): MARPAT 140:146007
GRAPHIC IMAGE:



I

ABSTRACT:
Title compds. [I; m = 1-5; n = 0-4; p = 0-1; Q = alkyl; W = aryl, heteroaryl; Y = O, NR8; R8 = H, alkyl; Z = O, NR9; R9 = H, alkyl, Ac; R1 = H, halo, cyano, NO2, CF3, OCF3, alkyl, OH, alkylcarbonyloxy, alkoxy; R2-R5 = H, (halo)alkyl; R6

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
= H, halo, (halo)alkyl, cyano, alkoxy, aminocarbonyl, carboxy, alkylcarbonyl, (halo)alkoxy; R7 = H, halo, (halo)alkyl, dialkylaminoalkylaminocarbonyl, alkoxy, aminocarbonyl, ureido, aminosulfonyl, alkylsulfonylaminoalkylamino, aminosulfonylamino, heteroaryloxy, ureidoalkylaminocarbonyl, etc.; ≥1 of R2-R5 = alkyl], were prepd. Thus, 2-(2-amino-4-chlorophenoxy)-1-[4-(4-fluorophenoxy)piperidin-1-yl]ethanone (prepn. given) in CH2Cl2 was treated with Et3N and Ph chloroformate, The reaction was stirred at ambient temp. for 4 h, concd. in vacuo, and the resulting residue dissolved in methanol followed by bubbling in ammonia gas for 10 min and stirred overnight at ambient temp. to give {5-chloro-2-[2-[4-(4-fluorophenoxy)piperidin-1-yl]-2-oxoethoxy]phenyl}urea. I inhibited chemotaxis with IC50 <10 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:314940 CAPLUS
DOCUMENT NUMBER: 136:340711
TITLE: Bridged piperazine derivatives, specifically 3,8-diazabicyclo[3.2.1]octane, 8-azabicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, and 3,9-diazabicyclo[3.3.1]nonane derivatives, useful as inhibitors of chemokines binding to CCR1 receptors, for treating inflammation and other immune disorders.
INVENTOR(S): **Blumberg, Laura Cook; Brown, Matthew Frank;** Glaude, Ronald Paul; **Poss, Christopher Stanley**
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032901	A2	20020425	WO 2001-IB1844	20011004
WO 2002032901	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2423789	AA	20020425	CA 2001-2423789	20011004
AU 2001092160	A5	20020429	AU 2001-92160	20011004
EP 1326867	A2	20030716	EP 2001-972389	20011004
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EE 200300189	A	20031015	EE 2003-189	20011004
BR 2001014697	A	20031118	BR 2001-14697	20011004
JP 2004511558	T2	20040415	JP 2002-536283	20011004
NZ 524742	A	20041224	NZ 2001-524742	20011004
US 2002119961	A1	20020829	US 2001-972177	20011005
ZA 2003002157	A	20040422	ZA 2003-2157	20030318
BG 107655	A	20040130	BG 2003-107655	20030320
NO 2003001572	A	20030610	NO 2003-1572	20030408
PRIORITY APPLN. INFO.:			US 2000-241804P	P 20001019
			WO 2001-IB1844	W 20011004

OTHER SOURCE(S): MARPAT 136:340711
GRAPHIC IMAGE:

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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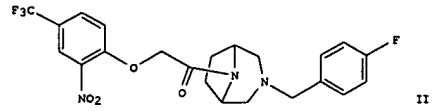

II

ABSTRACT:
Compds. I and their pharmaceutically acceptable salts, useful for treatment of inflammation and other immune disorders, are disclosed [wherein: n = 1-5; m = 1-5; q = 0-1; a, b, c = (CH2)0-4 (independently); a, b, and c cannot all be null; if a and/or c is not null, then b must be null; W = CH or N; X = CO, C(S), or CH2; Y = CH2; Z = O, (un)substituted NH or (un)substituted CH2; R = certain (un)substituted (hetero)aryl or (hetero)cycloalkyl; R1 = (independently) H, OH, SO3H, halo, alkyl, SH, CF3, wide variety of other substituents]. The compds. are useful for treatment of a wide variety of diseases and disorders, which are cited specifically in claims. Approx. 100 specific examples of I are given, many with synthetic details. For example, 3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octan-2-one (preparation given) underwent
a sequence of: (1) reduction of the amide carbonyl using LiAlH4 (94%); (2) 8-N-acylation with chloroacetyl chloride (69%); and (3) etherification with 2-nitro-4-trifluoromethylphenol (58%), to give title compound II. In a bioassay
for the ability to inhibit chemotaxis of various cells (THP-1 cells, primary human monocytes, or primary lymphocytes) in vitro, all example compds. had IC50
values of less than 10 μM.

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:811245 CAPLUS
DOCUMENT NUMBER: 132:49976
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as inhibitors
of protein tyrosine kinases such as Janus Kinase 3
INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; **Brown, Matthew Frank;** Changelian, Paul Steven
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965909	A1	19991223	WO 1999-IB1110	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335186	AA	19991223	CA 1999-2335186	19990614
CA 2335186	C	20050329		
AU 9940545	A1	20000105	AU 1999-40545	19990614
AU 758427	B2	20030320		
TR 200003720	T2	20010321	TR 2000-200003720	19990614
EP 1087971	A1	20010404	EP 1999-923800	19990614
EP 1087971	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9912171	A	20010410	BR 1999-12171	19990614
JP 2002518394	T2	20020625	JP 2000-554734	19990614
JP 3497823	B2	20040216		
TW 542834	B	20030721	TW 1999-88109933	19990614
CN 1125070	B	20031022	CN 1999-807519	19990614
NZ 508034	A	20031128	NZ 1999-508034	19990614
AT 270673	E	20040715	AT 1999-923800	19990614
PT 1087971	T	20041029	PT 1999-923800	19990614
ES 2223172	T3	20050216	ES 1999-923800	19990614
ZA 9904003	A	20001218	ZA 1999-4003	19990617
AP 1157	A	20030630	AP 1999-1583	19990617
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US 6635762	B1	20031021	US 1999-335030	19990617
NO 2000006454	A	20010215	NO 2000-6454	20001218
HR 2000000886	A1	20011031	HR 2000-886	20001219
BG 105122	A	20011031	BG 2001-105122	20010108
HK 1036800	A1	20040227	HK 2001-107740	20011106
US 2004058922	A1	20040325	US 2003-640079	20030813
PRIORITY APPLN. INFO.:			US 1998-89886P	P 19980619
			WO 1999-IB1110	W 19990614
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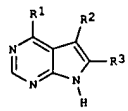
L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 132:49976
GRAPHIC IMAGE:



I

II

ABSTRACT:
The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; n = 0-3; X, B, D = O, S(O)d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CF3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as Janus
Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I
diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = Cl; R3 = H], starting with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:811244 CAPLUS
DOCUMENT NUMBER: 132:49975
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents
INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965908	A1	19991223	WO 1999-IB1100	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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BR 9911365	A	20010313	BR 1999-11365	19990614
TR 200003719	T2	20010321	TR 2000-200003719	19990614
EP 1087970	A1	20010404	EP 1999-922454	19990614
EP 1087970	B1	20040428		
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JP 2002518393	T2	20020625	JP 2000-554733	19990614
TW 505646	B	20021011	TW 1999-88109926	19990614
CN 1128800	B	20031126	CN 1999-807521	19990614
AT 265458	E	20040515	AT 1999-922454	19990614
PT 1087970	T	20040630	PT 1999-922454	19990614
ES 2219018	T3	20041116	ES 1999-922454	19990614
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AP 1021	A	20011109	AP 1999-1584	19990617
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NZ 518444	A	20040430	NZ 2000-518444	20001108
NO 2000006453	A	20010205	NO 2000-6453	20001218
HR 2000000885	A1	20011031	HR 2000-885	20001219
BG 105129	A	20011130	BG 2001-105129	20010108
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US 6610847	B2	20030826		
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US 2003212273	A1	20031113	US 2003-442807	20030520
US 6890929	B2	20050510		
US 2005171128	A1	20050804	US 2005-64873	20050223

PRIORITY APPLN. INFO.: US 1998-89866P P 19980619

L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
US 1998-104787P P 19981019
WO 1999-IB1100 W 19990614
US 1999-335121 B1 19990617
US 2001-956645 A1 20010919
US 2003-442807 A3 20030520

OTHER SOURCE(S): MARPAT 132:49975
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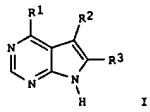

I

ABSTRACT:
The title compds. [I; R1 = N(R4)(CH2)yR5 (wherein y = 0-2; R4 = H, alkyl, alkenyl, etc.; R5 = trifluoromethylalkyl, (un)substituted cycloalkyl, etc.); R2, R3 = H, NH2, halo, etc.], inhibitors of the enzyme protein tyrosine kinases such as Janus Kinase 3 (JAK3) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, Leukemia and other autoimmune diseases, were prepared Thus, reacting 4-chloro-7H-pyrrolo[2,3-d]pyrimidine with N-methylcyclohexylamine in tert-butanol afforded 88% I [R1 = N-methylcyclohexylamino; R2 = R3 = H]. Compds. I are effective in the treatment of, e.g., asthma, at 0.1-1000 mg/day.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     FILE 'REGISTRY' ENTERED AT 13:14:42 ON 21 NOV 2005
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L2              STRUCTURE UPLOADED
                D
L3            3 SEA SSS SAM L1 OR L2
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L4          115 SEA SSS FUL L1 OR L2

     FILE 'CAPLUS' ENTERED AT 13:16:19 ON 21 NOV 2005
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L6           13 SEA ABB=ON  PLU=ON  ("BLUMBERG LAURA C"/AU OR "BLUMBERG LAURA
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     STRUCTURE FILE UPDATES:   20 NOV 2005  HIGHEST RN 868524-25-8
     DICTIONARY FILE UPDATES:  20 NOV 2005  HIGHEST RN 868524-25-8

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

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     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
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* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS

FILE COVERS 1907 - 21 Nov 2005 VOL 143 ISS 22
FILE LAST UPDATED: 20 Nov 2005 (20051120/ED)

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http://www.cas.org/infopolicy.html

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